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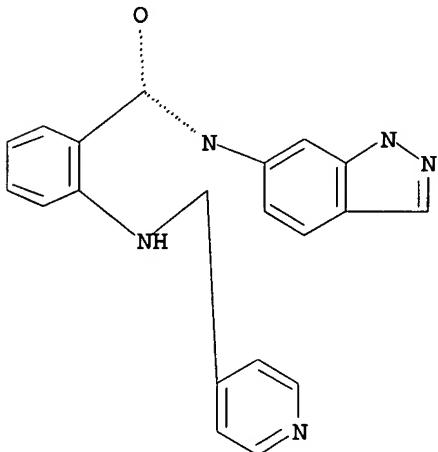
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FILE LAST UPDATED: 29 Nov 2005 (20051129/ED)

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Structure attributes must be viewed using STN Express query preparation.

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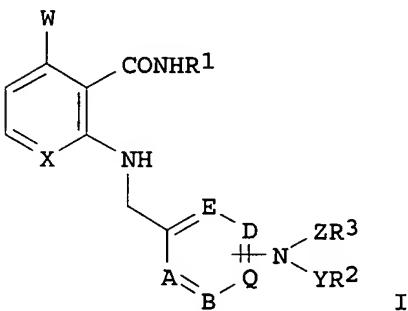
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L4 ANSWER 1 OF 5 CPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:120827 CPLUS  
DOCUMENT NUMBER: 140:181330  
TITLE: Preparation of anthranyl amidopyridines as inhibitors of vascular endothelial growth factor receptor-2 and -3 (VEGFR-2 and -3).  
INVENTOR(S): Huth, Andreas; Krueger, Martin; Zorn, Ludwig; Ince, Stuart; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin; Hess-Stump, Holger  
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013102	A1	20040212	WO 2003-EP7964	20030722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
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DE 10328036	A1	20050105	DE 2003-10328036	20030619
CA 2493026	AA	20040212	CA 2003-2493026	20030722
BR 2003013122	A	20050705	BR 2003-13122	20030722
EP 1594841	A1	20051116	EP 2003-740470	20030722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004147535	A1	20040729	US 2003-631018	20030731
US 2005054654	A1	20050310	US 2004-870491	20040618
PRIORITY APPLN. INFO.:			DE 2002-10235690	A 20020731
			DE 2003-10328036	A 20030619
			US 2003-483896P	P 20030702
			WO 2003-EP7964	W 20030722

OTHER SOURCE(S): MARPAT 140:181330  
 GI



AB Title compds. [I; X = CH, N; W = H, F; A, B, D, E, Q = N, C;  $\leq 2$  of A, B, D, E, Q = N; R1 = (substituted) aryl, heteroaryl; Y, Z = bond, CO, CS, SO2; R2, R3 = H, CONR9R10, SO2R6, COR11, NR9R10, (substituted) alkyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R2YNZR3 = atoms to form a 3-8 membered (substituted) (unsatd.) ring; R6 = H, alkyl, haloalkyl, (substituted) aryl, heteroaryl, NR9R10; R9, R10 = H, alkyl, alkenyl, aryl, cycloalkyl, etc.; R11 = alkyl, alkoxy, hydroxyalkyl, hydroxyalkoxy, cycloalkyl, (substituted) Ph, pyridyl, biphenyl, naphthyl], were prepared. Thus, 2-[(2-bromopyridin-4-ylmethyl)amino]-N-(3-trifluoromethylphenyl)benzamide (preparation given) pyridine, and N,N-dimethylaminoethylamine were heated in a pressure vessel for 5 h at 200° to give 2-[(2-dimethylaminoethylamino)pyridin-4-

ylmethyl]amino]-N-(3-trifluoromethylphenyl)benzamide. It inhibited VEGFR-2 with IC<sub>50</sub> = 8-65 nM. It can be used for treatment of tumor or metastasis growth, psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, hemangioma, angioma, eye disease, renal diseases, transplant rejection, fibrotic diseases, mesangial cell proliferative diseases, atherosclerosis, injuries to nervous tissue and for inhibition of the reocclusion of vessels after balloon catheter treatment, in vessel prosthetics, or after the application of mech. devices to hold open vessels, as immunosuppressants, for scar-free wound healing, age spots and contact dermatitis.

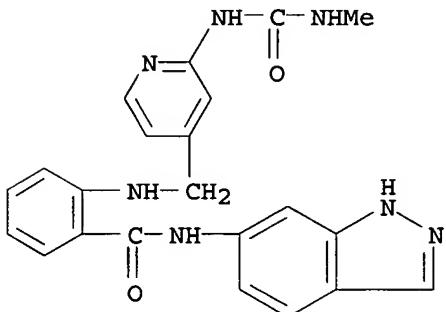
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 657400-70-9P 657400-71-0P 657400-85-6P  
 657400-91-4P 657400-99-2P 657401-00-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anthranyl amidopyridines as inhibitors of vascular endothelial growth factor receptor)

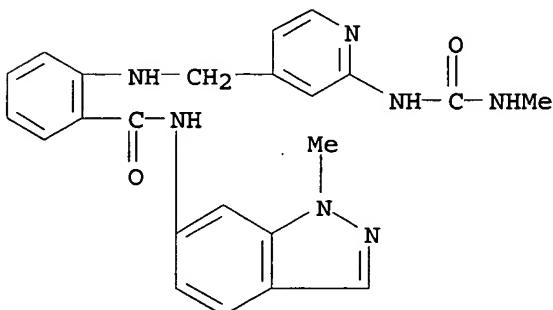
RN 657400-26-5 CAPLUS

CN Benzamide, N-1H-indazol-6-yl-2-[[2-[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



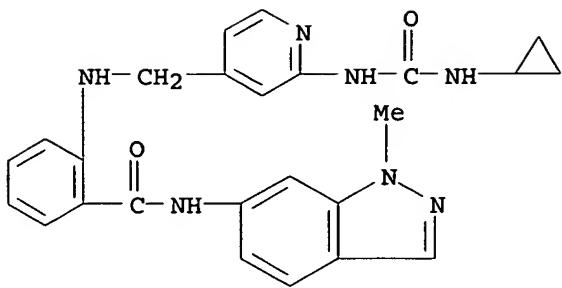
RN 657400-27-6 CAPLUS

CN Benzamide, 2-[[2-[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



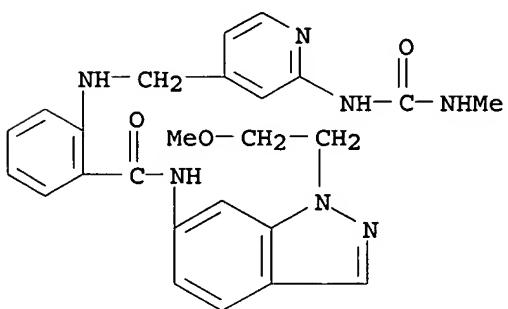
RN 657400-34-5 CAPLUS

CN Benzamide, 2-[[2-[(cyclopropylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



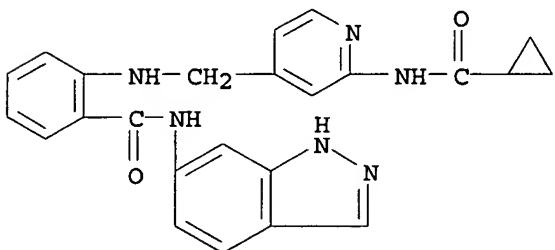
RN 657400-36-7 CAPLUS

CN Benzamide, N-[1-(2-methoxyethyl)-1H-indazol-6-yl]-2-[[[2-[(methylamino)carbonyl]amino]-4-pyridinylmethyl]amino]- (9CI) (CA INDEX NAME)



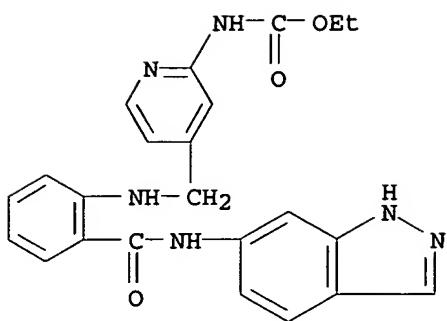
RN 657400-65-2 CAPLUS

CN Benzamide, 2-[[2-[(cyclopropylcarbonyl)amino]-4-pyridinylmethyl]amino]-N-1H-indazol-6-yl- (9CI) (CA INDEX NAME)

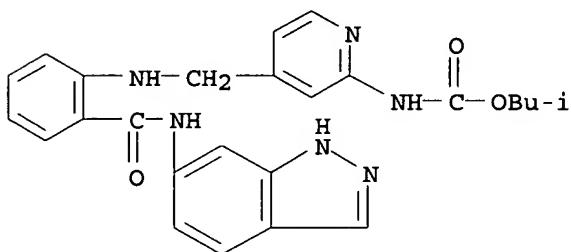


RN 657400-69-6 CAPLUS

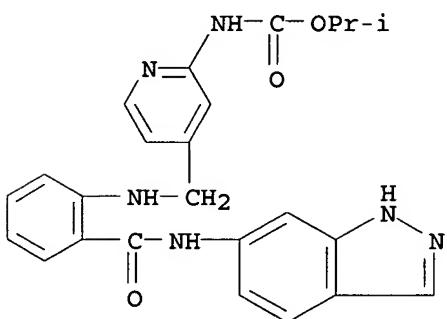
CN Carbamic acid, [4-[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



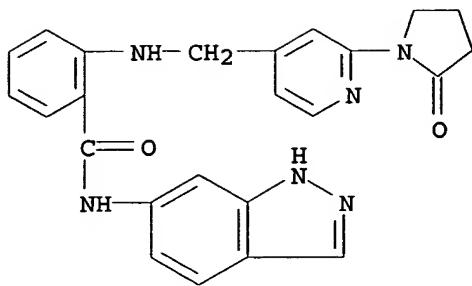
RN 657400-70-9 CAPLUS  
CN Carbamic acid, [4-[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



RN 657400-71-0 CAPLUS  
CN Carbamic acid, [4-[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

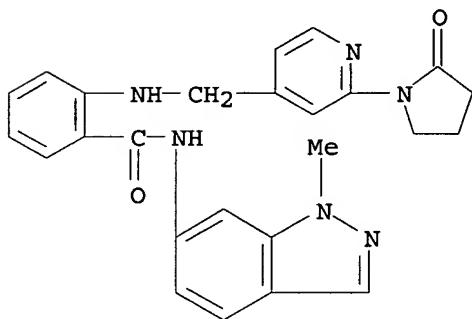


RN 657400-85-6 CAPLUS  
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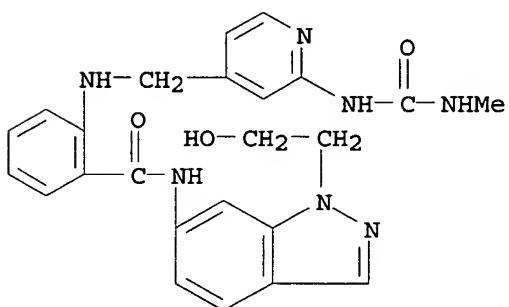
RN 657400-91-4 CAPLUS

CN Benzamide, N-(1-methyl-1H-indazol-6-yl)-2-[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



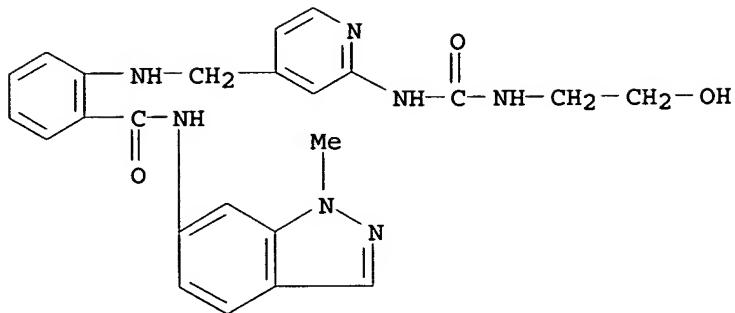
RN 657400-99-2 CAPLUS

CN Benzamide, N-[1-(2-hydroxyethyl)-1H-indazol-6-yl]-2-[[2-[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657401-00-8 CAPLUS

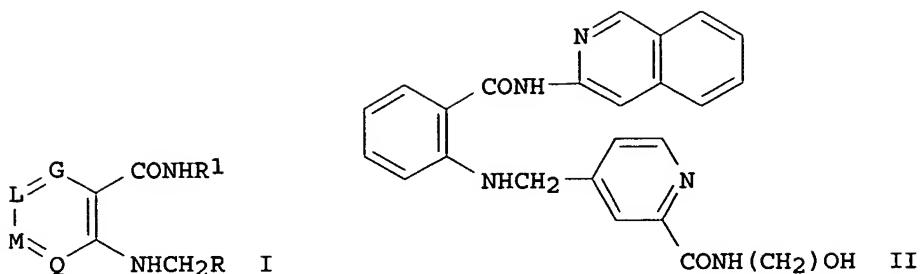
CN Benzamide, 2-[[2-[[[(2-hydroxyethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:868928 CAPLUS  
 DOCUMENT NUMBER: 137:352900  
 TITLE: Selective anthranilamide pyridine amides as inhibitors of VEGFR-2 and VEGFR-3  
 INVENTOR(S): Ernst, Alexander; Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin  
 PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany  
 SOURCE: PCT Int. Appl., 115 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090352	A2	20021114	WO 2002-EP4924	20020503
WO 2002090352	A3	20030501		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10123574	A1	20021128	DE 2001-10123574	20010508
DE 10125294	A1	20021121	DE 2001-10125294	20010515
DE 10164590	A1	20030710	DE 2001-10164590	20011221
CA 2453223	AA	20021114	CA 2002-2453223	20020503
EP 1392680	A2	20040303	EP 2002-735333	20020503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 200209485	A	20040706	BR 2002-9485	20020503
CN 1518546	A	20040804	CN 2002-809580	20020503
JP 2004528379	T2	20040916	JP 2002-587431	20020503
US 2004254185	A1	20041216	US 2004-477119	20040623
PRIORITY APPLN. INFO.:				
		DE 2001-10123574	A	20010508
		DE 2001-10125294	A	20010515
		DE 2001-10164590	A	20011221
		WO 2002-EP4924	W	20020503

OTHER SOURCE(S): MARPAT 137:352900  
 GI



AB Title compds. I [G, L, M, Q = N, (un)substituted CH,  $\leq 1$  of them being N; R = (un)substituted N heterocycle; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl] were prepared I are inhibitors of VEGFR-2 and VEGFR-3 and are used as medicaments for treating diseases that are caused by persistent angiogenesis, such as psoriasis, Kaposi's sarcoma, restenosis, such as e.g. stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibromatosis, in eye diseases such as diabetic retinopathy, neovascular glaucoma, in kidney diseases such as glomerulonephritis, diabetic nephropathy, malign nephrosclerosis, thromboc micro-angiopathic syndrome, transplant rejection and glomerulopathy, in fibrotic diseases such as hepatic cirrhosis, mesangial-cell proliferative diseases, arteriosclerosis, damage to the nerve tissue and inhibition of the re-occlusion of vessels after balloon catheter treatment, in vessel prosthetics or after the use of mech. devices for keeping vessels open, e.g. stents, as immunosuppressants, to support wound healing without scars and in cases of age spots and contact dermatitis. I can also be used as inhibitors of VEGFR-3 in lymphangiogenesis for hyperplastic and dysplastic changes in the lymphatic system. Thus, 2-amino-N-isoquinolin-3-ylbenzamide was treated with 2-bromo-5-pyridinecarboxaldehyde, followed by carboxylation and amidation to give the amide II. II had IC50 for inhibition of VEGFR-2 of 40 nM and for inhibition of cytochrome 450 isoenzyme 2C9 of 2.9  $\mu$ M.

IT 474798-92-0P 474798-93-1P 474798-94-2P

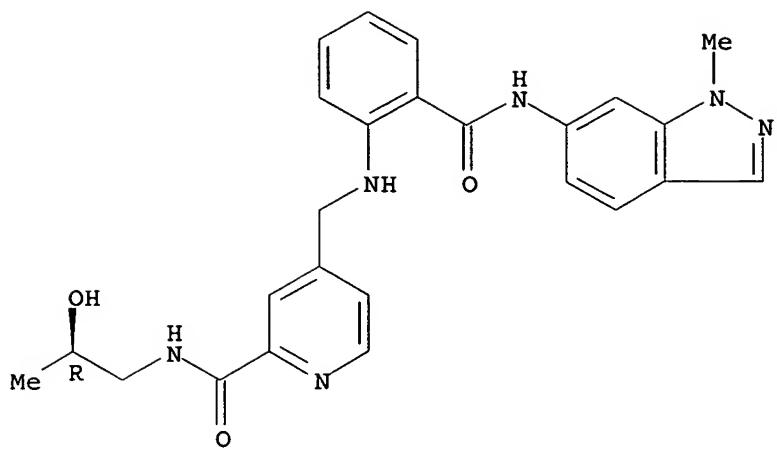
474798-96-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474798-92-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[2-[[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

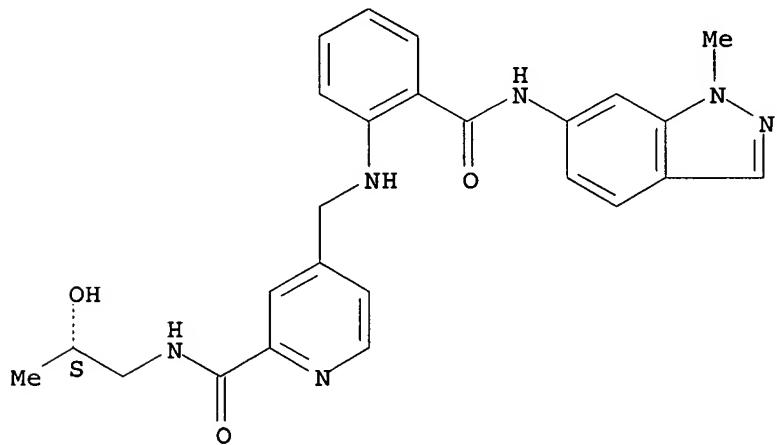
Absolute stereochemistry.



RN 474798-93-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl- (9CI) (CA INDEX NAME)

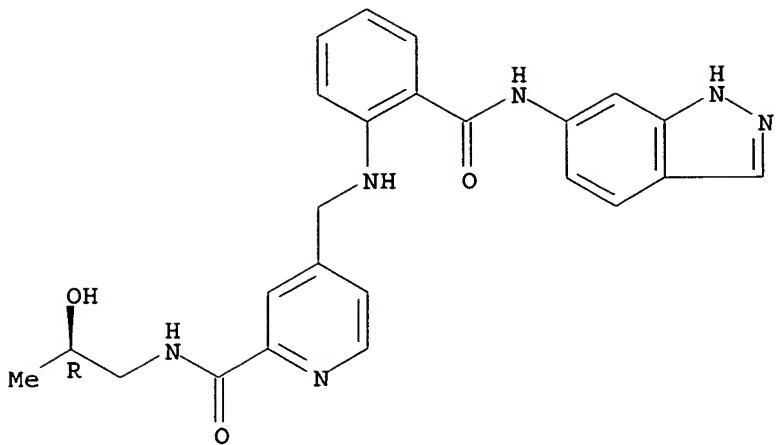
Absolute stereochemistry.



RN 474798-94-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl- (9CI) (CA INDEX NAME)

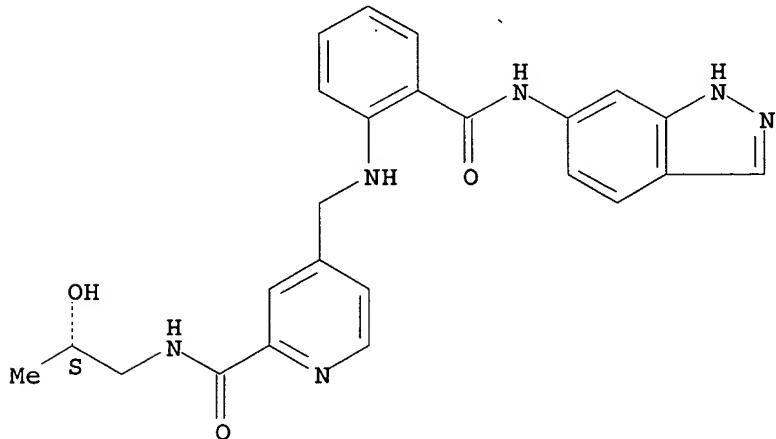
Absolute stereochemistry.



RN 474798-96-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

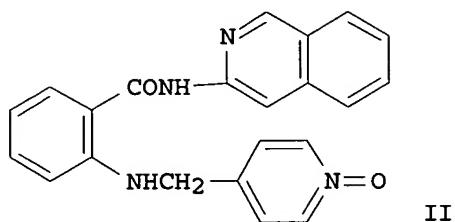
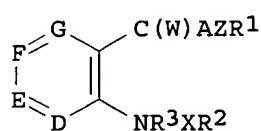
ACCESSION NUMBER: 2002:868925 CAPLUS  
 DOCUMENT NUMBER: 137:352899  
 TITLE: Pyridylmethylanthranilamide N-oxides as inhibitors of VEGFR II kinase  
 INVENTOR(S): Ernst, Alexander; Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin  
 PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany  
 SOURCE: PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002090349	A1	20021114	WO 2002-EP4923	20020503

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 DE 10123573 B4 20050602  
 DE 10125293 A1 20021121 DE 2001-10125293 20010515  
 EP 1389201 A1 20040218 EP 2002-740563 20020503  
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 JP 2004528378 T2 20040916 JP 2002-587429 20020503  
 US 2005032816 A1 20050210 US 2004-476755 20040624  
 PRIORITY APPLN. INFO.: DE 2001-10123573 A 20010508  
 DE 2001-10125293 A 20010515  
 WO 2002-EP4923 W 20020503

OTHER SOURCE(S) : MARPAT 137:352899

GI



AB Title compds. I [D, E, F, G = N, (un)substituted CH; A = (un)substituted NH; W = O, S, H2, (un)substituted NH; X, Z = (un)substituted alkylene; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R2 = (un)substituted hetaryl N-oxide; R3 = H, alkyl] were prepared. These compds. can be used in the treatment of psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arteritis, such as rheumatoid arthritis, hemangioma, angiomyoma, eye diseases, such as diabetic retinopathy, neovascular glaucoma, renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathic syndromes, transplant rejections and glomerulopathy, fibrotic diseases such as cirrhosis of the liver, mesangial cell-proliferative diseases, arteriosclerosis, injuries of the nerve tissue, and for inhibiting the reocclusion of vessels after balloon catheter treatment, for use in vascular prosthetics or after inserting mech. devices for holding vessels open such as, e.g. stents, as immunosuppressants, as an aid in scar-free wound healing, and for treating age spots and contact dermatitis. They can also be used as VEGFR-3 inhibitors in lymphangiogenesis. Thus, the N-oxide II was obtained by reductive alkylation of 2-amino-N-isoquinolin-3-ylbenzamide with isonicotinaldehyde N-oxide and had IC50 for inhibition of VEGFR II of 0.03  $\mu$ M.

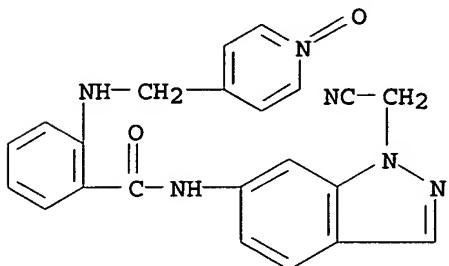
IT 474760-11-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridylmethylantranilamide N-oxides as inhibitors of VEGFR II kinase)

RN 474760-11-7 CAPLUS

CN Benzamide, N-[1-(cyanomethyl)-1H-indazol-6-yl]-2-[(1-oxido-4-pyridinyl)methyl]amino- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

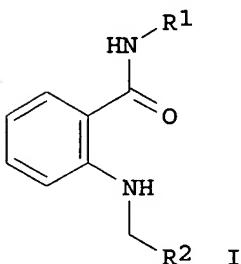
L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2001:833307 CAPLUS  
 DOCUMENT NUMBER: 136:53680  
 TITLE: Preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT.  
 INVENTOR(S): Krueger, Martin; Huth, Andreas; Petrov, Orlin; Seidelmann, Dieter; Thierauch, Karl-Heinz; Haberey, Martin; Menrad, Andreas; Ernst, Alexander  
 PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany  
 SOURCE: PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085719	A1	20011115	WO 2001-EP5214	20010508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10023486	C1	20020314	DE 2000-10023486	20000509
CA 2407852	AA	20011115	CA 2001-2407852	20010508
EP 1280799	A1	20030205	EP 2001-940416	20010508
EP 1280799	B1	20040121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010621	A	20030325	BR 2001-10621	20010508
JP 2003532725	T2	20031105	JP 2001-582320	20010508
AT 258174	E	20040215	AT 2001-940416	20010508
EE 200200625	A	20040415	EE 2002-625	20010508
PT 1280799	T	20040630	PT 2001-940416	20010508
ES 2214424	T3	20040916	ES 2001-1940416	20010508
NZ 521700	A	20050930	NZ 2001-521700	20010508
RU 2264399	C2	20051120	RU 2002-131887	20010508
NO 2002005358	A	20021108	NO 2002-5358	20021108
BG 107261	A	20030630	BG 2002-107261	20021108

10/631,018

ZA 2002009896	A 20040305	ZA 2002-9896	20021205
US 2004102441	A1 20040527	US 2003-275480	20030624
PRIORITY APPLN. INFO.:		DE 2000-10023486	A 20000509
		WO 2001-EP5214	W 20010508

OTHER SOURCE(S) : MARPAT 136:53680  
GI



AB Title compds. [I; R1 = (substituted) oxobenzopyranyl, quinolinyl, Ph, isoquinolinyl, benzimidazolyl, etc.; R2 = pyridyl, 2-oxopyridyl, 2-hydroxypyridyl; R3 = H, F], were prepared Thus, N-(2-oxo-2H-1-benzopyran-3-yl)-2-aminobenzamide (preparation given) was stirred with 4-pyridinecarboxaldehyde in AcOH/MeOH; NaBH3CN was added to give N-(2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridyl)methyl]aminobenzamide. The latter inhibited KDR with IC50 = 0.003  $\mu$ M.

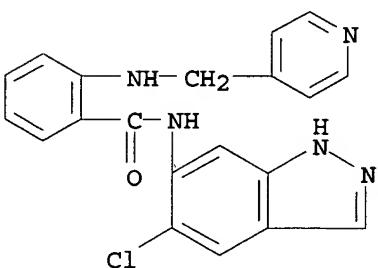
IT 381694-76-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT)

RN 381694-76-4 CAPLUS

CN Benzamide, N-(5-chloro-1H-indazol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:335387 CAPLUS

DOCUMENT NUMBER: 132:334364

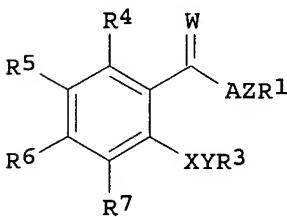
TITLE: Preparation of anthranilic acid amides as vascular endothelial growth factor receptor inhibitors.

INVENTOR(S) : Huth, Andreas; Seidelmann, Dieter; Thierauch, Karl-Heinz; Bold, Guido; Manley, Paul William; Furet, Pascal; Wood, Jeanette Marjorie; Mestan, Jurgen; Bruggen, Jose; Ferrari, Stefano; Kruger, Martin;

PATENT ASSIGNEE(S) : Ottow, Eckhard; Menrad, Andreas; Schirner, Michael  
 Schering Aktiengesellschaft, Germany; Novartis  
 Aktiengesellschaft  
 SOURCE: PCT Int. Appl., 96 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027819	A2	20000518	WO 1999-EP8478	19991109
WO 2000027819	A3	20000817		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19910396	A1	20000907	DE 1999-19910396	19990303
DE 19910396	C2	20011213		
CA 2350208	AA	20000518	CA 1999-2350208	19991109
BR 9915553	A	20010814	BR 1999-15553	19991109
EP 1129074	A2	20010905	EP 1999-953967	19991109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101307	T2	20020521	TR 2001-200101307	19991109
JP 2002529452	T2	20020910	JP 2000-580999	19991109
EE 200100258	A	20021216	EE 2001-258	19991109
NZ 511413	A	20040130	NZ 1999-511413	19991109
AU 771180	B2	20040318	AU 2000-10454	19991109
NO 2001002245	A	20010710	NO 2001-2245	20010507
BG 105588	A	20020430	BG 2001-105588	20010611
HK 1041882	A1	20050318	HK 2002-103628	20020514
PRIORITY APPLN. INFO.:			GB 1998-24579	A 19981110
			DE 1999-19910396	A 19990303
			WO 1999-EP8478	W 19991109

OTHER SOURCE(S) : MARPAT 132:334364  
 GI



AB Title compds. [I; A = NR2; W = O, S, H2, NR8; Z = NR10, N, NR10(CH2)q, alkyl, etc.; q = 1-6; AZR1 = tetrahydroisoquinoliny1, indazolyl, 5-chloroindolyl, etc.; R1 = (substituted) aryl, heteroaryl; R2 = H, alkyl; R3 = (substituted) mono- or bicyclic aryl, heteroaryl; R4-R7 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R5R6 = dioxetanyl; R8, R10 = H, alkyl]. Thus, Me N-(4-pyridylmethyl)anthranilate (preparation given) was stirred with Ph(CH2)3NH2 and Me3Al were stirred in PhMe to give

10/631,018

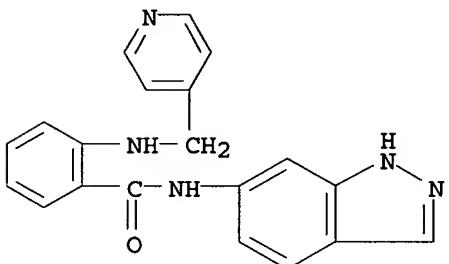
N-(3-phenylprop-1-yl)-N2-(4-pyridylmethyl)anthranilamide. The latter inhibited VEGFR I with IC<sub>50</sub> = 0.05 μM.

IT 267891-75-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-75-8 CAPLUS

CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



=> => file uspatall

FILE 'USPATFULL' ENTERED AT 15:50:29 ON 30 NOV 2005

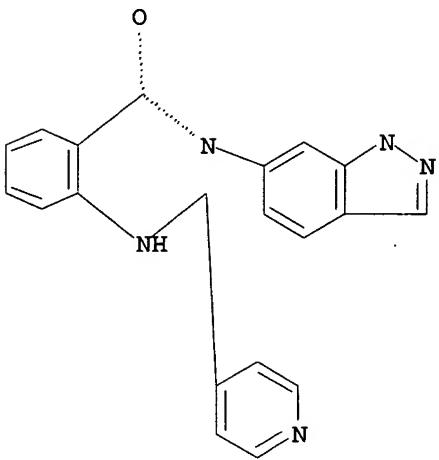
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:50:29 ON 30 NOV 2005

CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 19 SEA FILE=REGISTRY SSS FUL L1

L5 10 SEA L3

=> d 15 1-10 ibib abs hitstr

L5 ANSWER 1 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2005:63612 USPATFULL  
 TITLE: VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridines  
 INVENTOR(S): Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Zorn, Ludwig, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Krueger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Ince, Stuart, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Thierauch, Karl Heinz, Berlin, GERMANY, FEDERAL  
 REPUBLIC OF  
 Menrad, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Hess-Stumpp, Holger, Berlin, GERMANY, FEDERAL REPUBLIC  
 OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005054654	A1	20050310
APPLICATION INFO.:	US 2004-870491	A1	20040618 (10)

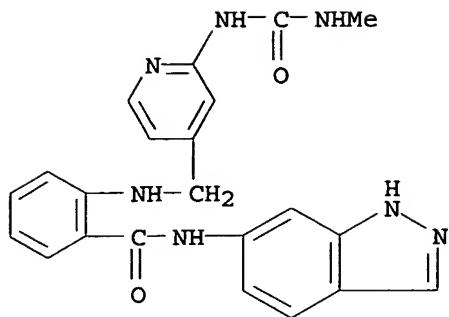
	NUMBER	DATE
PRIORITY INFORMATION:	DE 2002-10235690	20020731
	US 2003-483896P	20030702 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1593	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridinamides, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis, as well as intermediate products for the production of the compounds are described. The compounds according to the invention can be used as or in the case of tumor or metastasis growth, psoriasis, Kaposi's sarcoma, restenosis, such as, e.g., stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angioblastoma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver; mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis.

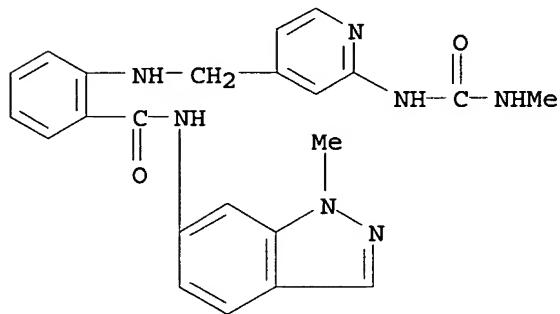
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 657400-26-5P 657400-27-6P 657400-34-5P  
 657400-36-7P 657400-65-2P 657400-69-6P  
 657400-70-9P 657400-71-0P 657400-85-6P  
 657400-91-4P 657400-99-2P 657401-00-8P  
 (preparation of anthranilamidopyridines as inhibitors of vascular endothelial growth factor receptor)  
 RN 657400-26-5 USPATFULL  
 CN Benzamide, N-1H-indazol-6-yl-2-[[2-[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino] - (9CI) (CA INDEX NAME)



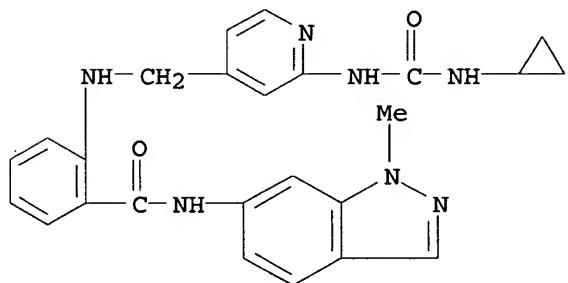
RN 657400-27-6 USPATFULL

CN Benzamide, 2-[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



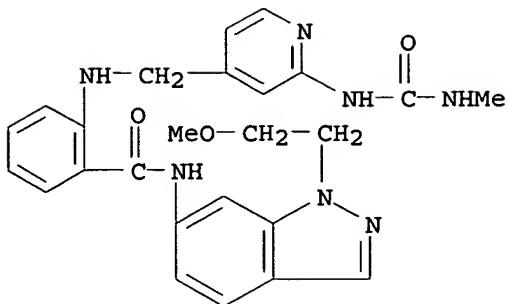
RN 657400-34-5 USPATFULL

CN Benzamide, 2-[[2-[[[(cyclopropylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



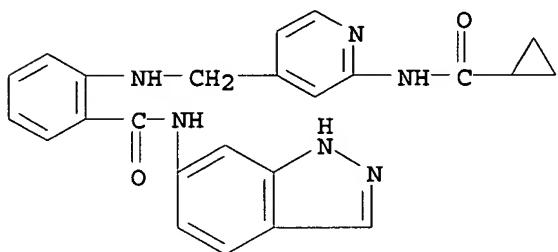
RN 657400-36-7 USPATFULL

CN Benzamide, N-[1-(2-methoxyethyl)-1H-indazol-6-yl]-2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



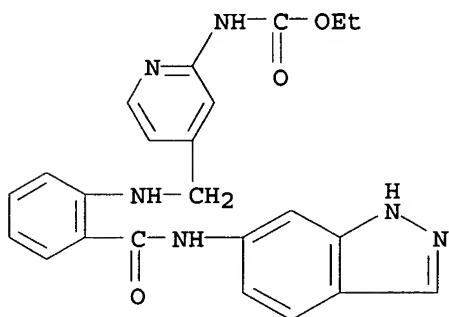
RN 657400-65-2 USPATFULL

1A: 35100-35-1 35100-35-1  
CN: Benzamide, 2-[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-1H-indazol-6-yl- (9CI) (CA INDEX NAME)



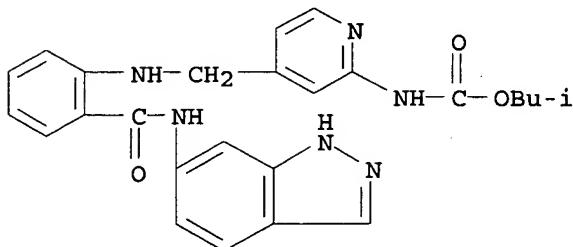
RN 657400-69-6 USPATFULL

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)



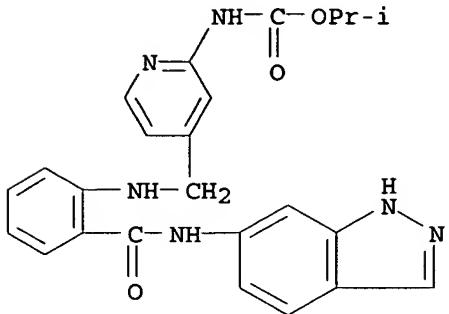
RN 657400-70-9 USPATFULL

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl] -2-pyridinyl-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



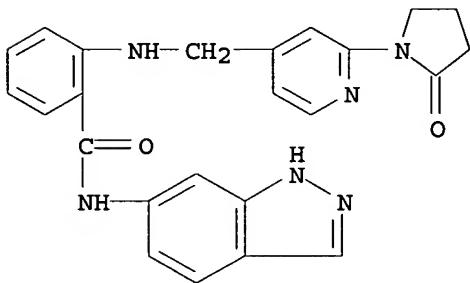
RN 657400-71-0 USPATFULL

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)



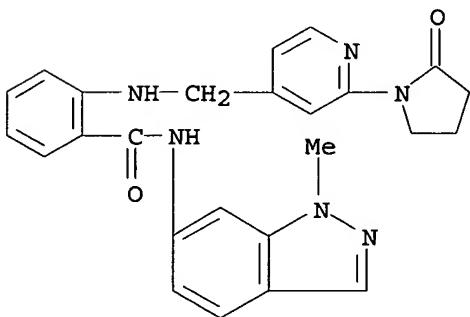
RN 657400-85-6 USPATFULL

CN Benzamide, N-1H-indazol-6-yl-2-[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



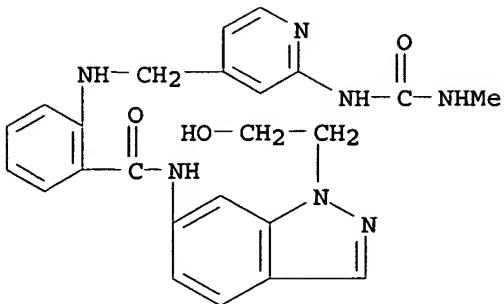
RN 657400-91-4 USPATFULL

CN Benzamide, N-(1-methyl-1H-indazol-6-yl)-2-[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



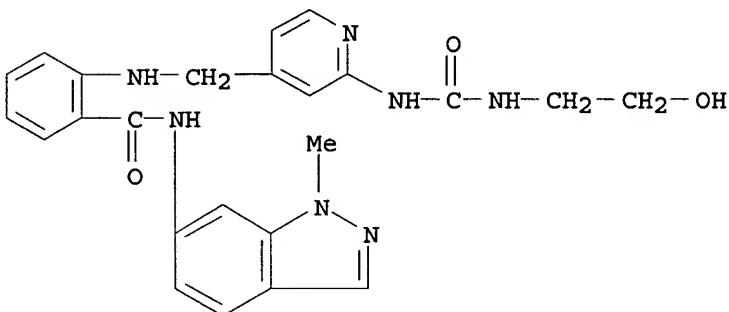
RN 657400-99-2 USPATFULL

CN Benzamide, N-[1-(2-hydroxyethyl)-1H-indazol-6-yl]-2-[[[2-[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657401-00-8 USPATFULL

CN Benzamide, 2-[[[2-[[[(2-hydroxyethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2005:38136 USPATFULL

**TITLE:** N-oxide anthracycline derivatives and their use as medicaments

INVENTOR(S): Ernst, Alexander, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Krueger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Thierauch, Karl-Heniz, Berlin, GERMANY, FEDERAL  
REPUBLIC OF  
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC  
OF  
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF

PATENT INFORMATION: US 2005032816 A1 20050210  
APPLICATION INFO.: US 2004-476755 A1 20040624 (10)  
WO 2002-EP4923 20020503

NUMBER DATE

PRIORITY INFORMATION: DE 2001-123573 20010508  
DE 2001-125293 20010515

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201

NUMBER OF CLAIMS: 12

**EXEMPLARY CLAIM:**

10/631,018

LINE COUNT: 1067

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted N-oxidantranilamide derivatives, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis are described. The compounds according to the invention can be used as or in the case of psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver, mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis.

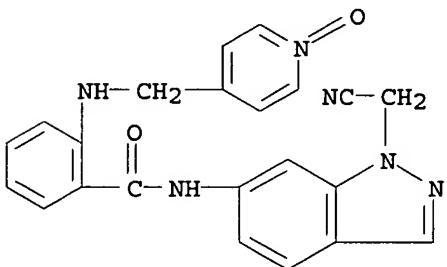
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 474760-11-7P

(preparation of pyridylmethylantranilamide N-oxides as inhibitors of VEGFR II kinase)

RN 474760-11-7 USPATFULL

CN Benzamide, N-[1-(cyanomethyl)-1H-indazol-6-yl]-2-[(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:321530 USPATFULL

TITLE: Selective anthranilamide pyridine amides as inhibitors of vegfr-2 and vegfr-3

INVENTOR(S): Ernst, Alexander, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL  
REPUBLIC OF  
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC  
OF  
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004254185	A1	20041216
APPLICATION INFO.:	US 2004-477119	A1	20040623 (10)
	WO 2002-EP4924		20020503

NUMBER	DATE
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PRIORITY INFORMATION: DE 2001-123574 20010508  
 DE 2001-125294 20010515  
 DE 2001-164590 20011221

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201

NUMBER OF CLAIMS: 12

EXEMPLARY CLAIM: 1

LINE COUNT: 2153

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Selective anthranilamide pyridinamides as VEGFR-2 and VEGFR-3 inhibitors, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis are described. The compounds according to the invention can be used as or in the case of psoriasis, Kaposi's sarcoma, restenosis, such as, e.g., stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angiomyoma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver, mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis in hyper- and dysplastic changes of the lymphatic system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 474798-92-0P 474798-93-1P 474798-94-2P

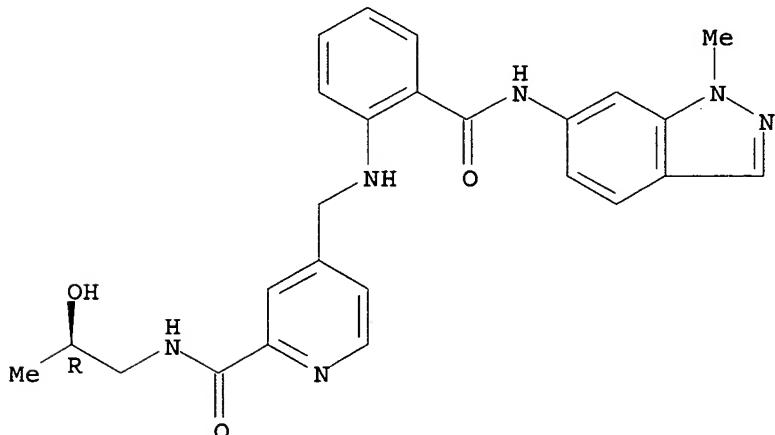
474798-96-4P

(preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474798-92-0 USPATFULL

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

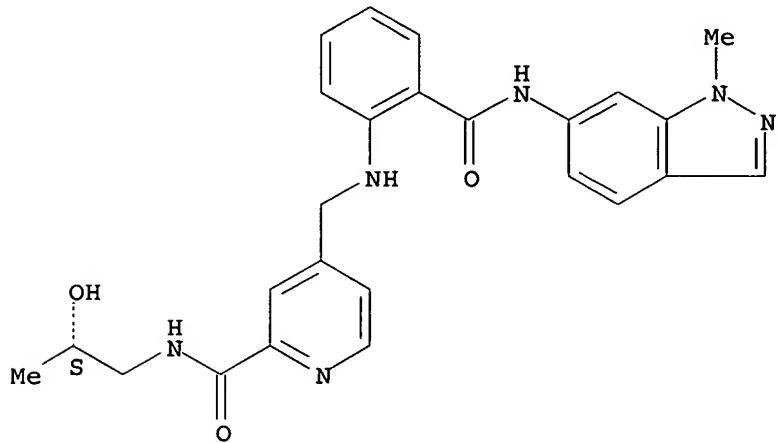


RN 474798-93-1 USPATFULL

10/631,018

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[2-[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]-(9CI) (CA INDEX NAME)

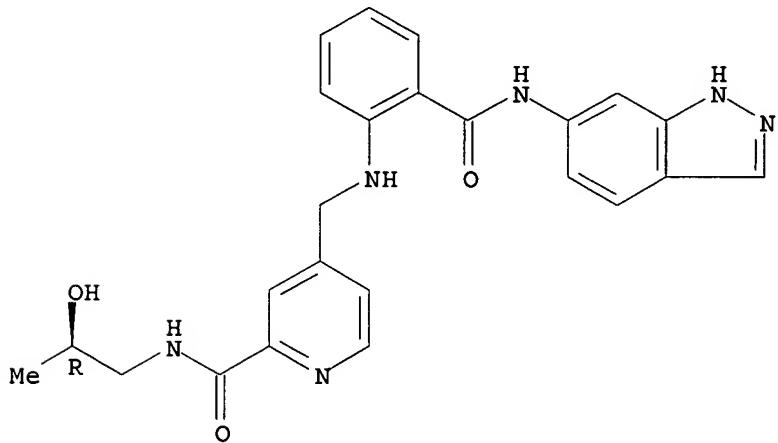
Absolute stereochemistry.



RN 474798-94-2 USPATFULL

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[2-[(1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]-(9CI) (CA INDEX NAME)

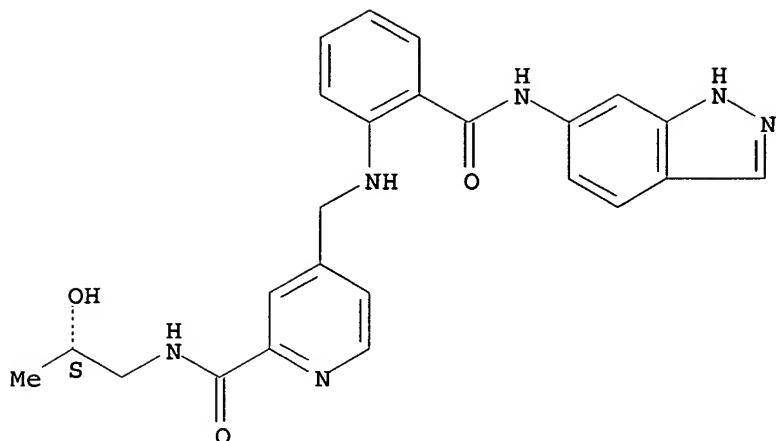
Absolute stereochemistry.



RN 474798-96-4 USPATFULL

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[2-[(1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 4 OF 10 USPATFULL on STN

ACCESSION NUMBER:

2004:255252 USPATFULL

TITLE:

N-aryl (THIO) anthranilic acid amide derivatives, their preparation and their use as VEGF receptor tyrosine kinase inhibitors

INVENTOR(S):

Altmann, Karl-Heinz, Reinach, SWITZERLAND  
 Bold, Guido, Gipf-Oberfrick, SWITZERLAND  
 Furet, Pascal, Thann, FRANCE  
 Manley, Paul William, Arlesheim, SWITZERLAND  
 Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND  
 Ferrari, Stefano, Muttenz, SWITZERLAND  
 Hofmann, Francesco, Bottmingen, SWITZERLAND  
 Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF  
 Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF  
 Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF

NUMBER            KIND            DATE

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 PATENT INFORMATION: US 2004198782        A1        20041007  
 APPLICATION INFO.: US 2004-828951        A1        20040421 (10)  
 RELATED APPLN. INFO.: Division of Ser. No. US 2002-180289, filed on 26 Jun  
 2002, PENDING Division of Ser. No. US 2001-850434,  
 filed on 7 May 2001, GRANTED, Pat. No. US 6448277  
 Continuation of Ser. No. WO 1999-EP8545, filed on 8 Nov  
 1999, UNKNOWN

NUMBER            DATE

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 PRIORITY INFORMATION: GB 1998-24579        19981110  
 DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: NOVARTIS, CORPORATE INTELLECTUAL PROPERTY, ONE HEALTH  
 PLAZA 430/2, EAST HANOVER, NJ, 07936-1080  
 NUMBER OF CLAIMS: 17  
 EXEMPLARY CLAIM: 1

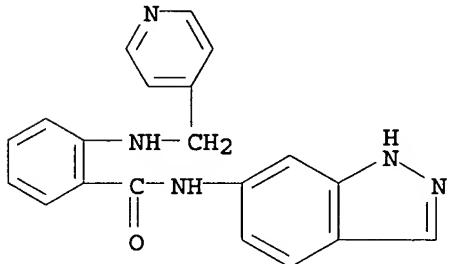
10/631,018

LINE COUNT: 2629  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB ##STR1##

Described are compounds of formula (I), wherein W is O or S; X is NR<sub>sub.8</sub>; Y is CR<sub>sub.9</sub>R<sub>sub.10</sub>--(CH<sub>sub.2</sub>).sub.n wherein R<sub>sub.9</sub> and R<sub>sub.10</sub> are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO<sub>sub.2</sub>; R<sub>sub.2</sub> is aryl; R<sub>sub.2</sub> is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R<sub>sub.2</sub> cannot represent 2-phthalimidyl, and in case of Y=SO<sub>sub.2</sub> cannot represent 2,1,3-benzothiadiazol-4-yl; any of R<sub>sub.3</sub>, R<sub>sub.4</sub>, R<sub>sub.5</sub> and R<sub>sub.6</sub>, independently of the other, is H or a substituent other than hydrogen; and R<sub>sub.7</sub> and R<sub>sub.8</sub>, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 267891-75-8P (preparation of anthranilic acid amides as VEGF receptor inhibitors)  
RN 267891-75-8 USPATFULL  
CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:190762 USPATFULL  
TITLE: VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridines  
INVENTOR(S): Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Zorn, Ludwig, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Ince, Stuart, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL  
REPUBLIC OF  
Menrad, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Hess-Stumpp, Holger, Berlin, GERMANY, FEDERAL REPUBLIC  
OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004147535	A1	20040729
APPLICATION INFO.:	US 2003-631018	A1	20030731 (10)

NUMBER DATE

PRIORITY INFORMATION: DE 2002-10235690 20020731  
 DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201

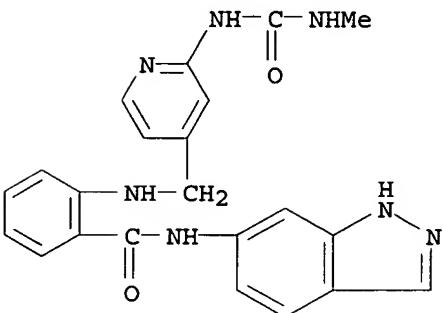
NUMBER OF CLAIMS: 11  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 1615

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

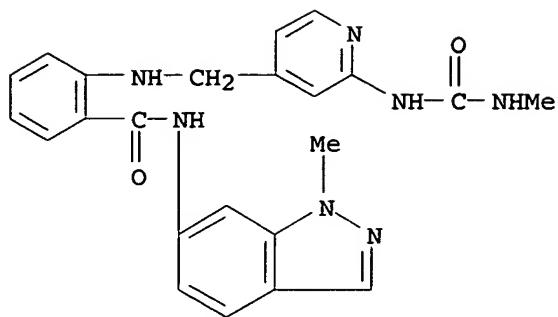
AB VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridinamides, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis, as well as intermediate products for the production of the compounds are described. The compounds according to the invention can be used as or in the case of tumor or metastasis growth, psoriasis, Kaposi's sarcoma, restenosis, such as, e.g., stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombocytic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver, mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 657400-26-5P 657400-27-6P 657400-34-5P  
 657400-36-7P 657400-65-2P 657400-69-6P  
 657400-70-9P 657400-71-0P 657400-85-6P  
 657400-91-4P 657400-99-2P 657401-00-8P  
 (preparation of anthranylamidopyridines as inhibitors of vascular endothelial growth factor receptor)  
 RN 657400-26-5 USPATFULL  
 CN Benzamide, N-1H-indazol-6-yl-2-[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

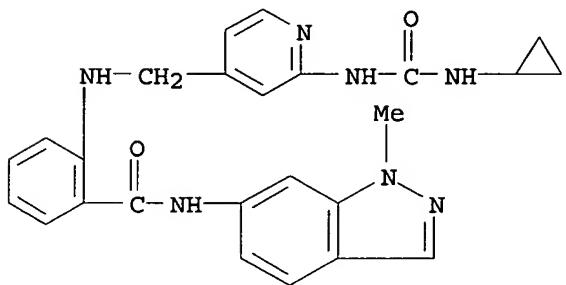


RN 657400-27-6 USPATFULL  
 CN Benzamide, 2-[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



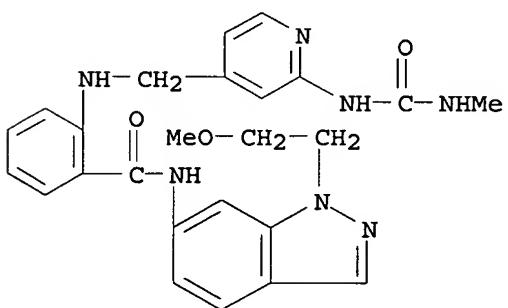
RN 657400-34-5 USPATFULL

CN Benzamide, 2-[[2-[(cyclopropylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



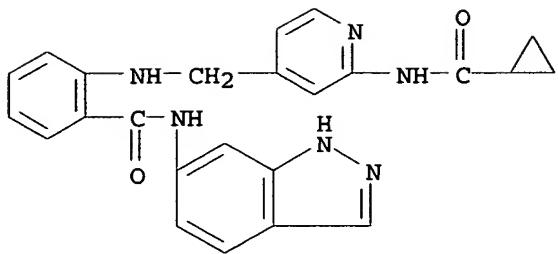
RN 657400-36-7 USPATFULL

CN Benzamide, N-[1-(2-methoxyethyl)-1H-indazol-6-yl]-2-[[2-[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



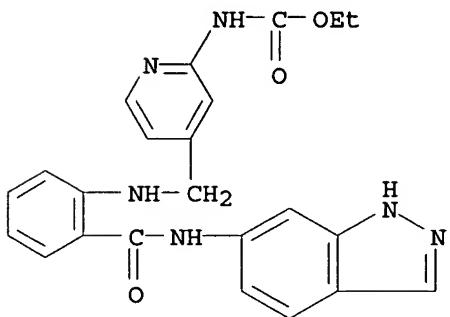
RN 657400-65-2 USPATFULL

CN Benzamide, 2-[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-1H-indazol-6-yl- (9CI) (CA INDEX NAME)



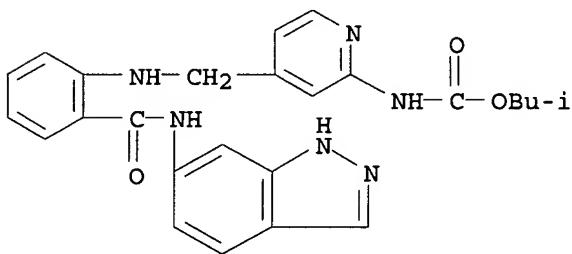
RN 657400-69-6 USPATFULL

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)



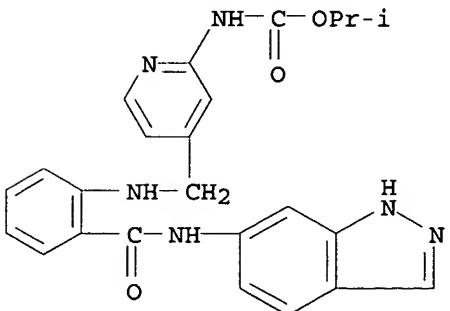
RN 657400-70-9 USPATFULL

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



RN 657400-71-0 USPATFULL

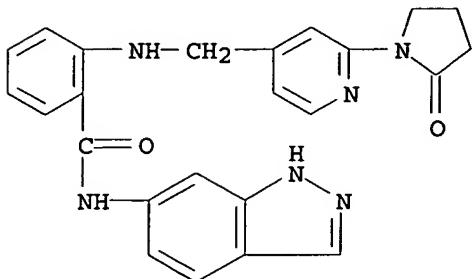
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



10/631,018

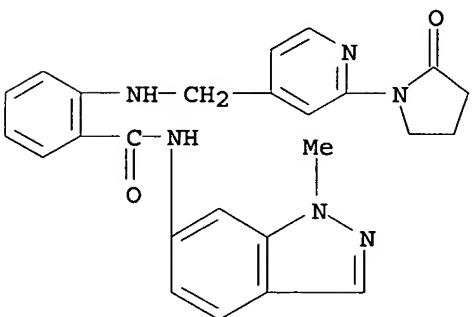
RN 657400-85-6 USPATFULL

CN Benzamide, N-1H-indazol-6-yl-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



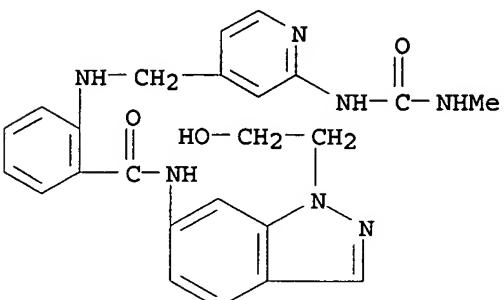
RN 657400-91-4 USPATFULL

CN Benzamide, N-(1-methyl-1H-indazol-6-yl)-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



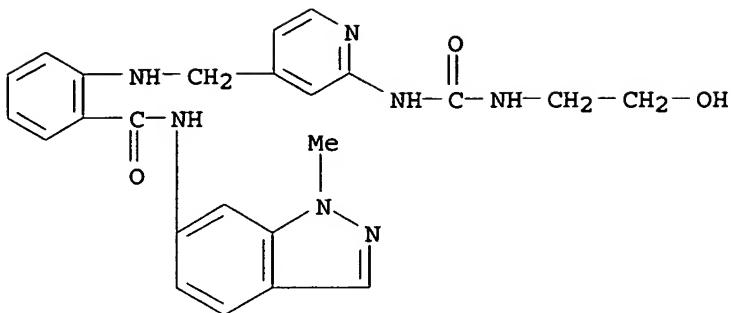
RN 657400-99-2 USPATFULL

CN Benzamide, N-[1-(2-hydroxyethyl)-1H-indazol-6-yl]-2-[[[2-[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657401-00-8 USPATFULL

CN Benzamide, 2-[[[2-[[[(2-hydroxyethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:133904 USPATFULL

TITLE: Ortho-substituted anthranilic acid amides and their use  
as medicamentsINVENTOR(S): Krueger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Petrov, Orlin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC  
OF  
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL  
REPUBLIC OF  
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC  
OF  
Ernst, Alexander, Berlin, GERMANY, FEDERAL REPUBLIC OF

NUMBER	KIND	DATE
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PATENT INFORMATION: US 2004102441 A1 20040527

APPLICATION INFO.: US 2003-275480 A1 20030624 (10)

WO 2001-EP5214 20010508

NUMBER	DATE
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PRIORITY INFORMATION: DE 2000-10023486 20000509

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON  
BLVD., SUITE 1400, ARLINGTON, VA, 22201

NUMBER OF CLAIMS: 7

EXEMPLARY CLAIM: 1

LINE COUNT: 602

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Ortho-substituted anthranilic acid amides and use thereof as  
pharmaceutical agents for treating diseases that are triggered by  
persistent angiogenesis are described.

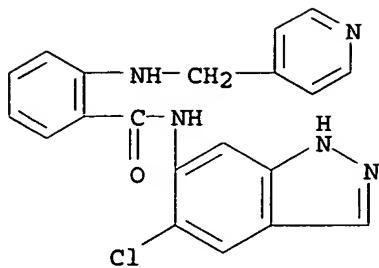
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 381694-76-4P

(preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase  
KDR and FLT)

RN 381694-76-4 USPATFULL

CN Benzamide, N-(5-chloro-1H-indazol-6-yl)-2-[(4-pyridinylmethyl)amino]-  
(9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2003:93631 USPATFULL

TITLE: N-aryl (thio) anthranilic acid amide derivatives, their preparation and their use as VEGF receptor tyrosine kinase inhibitors

INVENTOR(S): Altmann, Karl-Heinz, Reinach, SWITZERLAND  
Bold, Guido, Gipf-Oberfrick, SWITZERLAND  
Furet, Pascal, Thann, FRANCE  
Manley, Paul William, Arlesheim, SWITZERLAND  
Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND  
Ferrari, Stefano, Muttenz, SWITZERLAND  
Hofmann, Francesco, Bottmingen, SWITZERLAND  
Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF  
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF  
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003064992	A1	20030403
	US 6878720	B2	20050412

APPLICATION INFO.: US 2002-180289 A1 20020626 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2001-850434, filed on 7 May 2001, GRANTED, Pat. No. US 6448277 A 371 of International Ser. No. WO 1999-EP8545, filed on 8 Nov 1999, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-24579	19981110

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ, 079011027

NUMBER OF CLAIMS: 17

EXEMPLARY CLAIM: 1

LINE COUNT: 2632

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB ##STR1##

Described are compounds of formula (I), wherein W is O or S; X is

NR.sub.8; Y is CR.sub.9R.sub.10--(CH.sub.2)n wherein R.sub.9 and R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.1 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y=SO.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration.

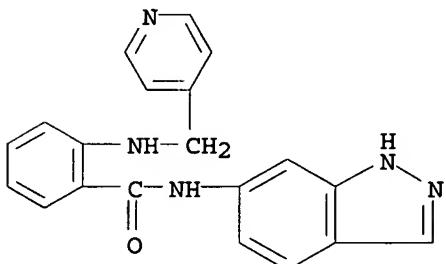
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 267891-75-8P

(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-75-8 USPATFULL

CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2002:32592 USPATFULL

TITLE: N-aryl(thio)anthranilic acid amide derivatives, their preparation and their use as VEGF receptor tyrosine kinase inhibitors

INVENTOR(S): Altmann, Karl-Heinz, Reinach, SWITZERLAND  
 Bold, Guido, Gipf-Oberfrick, SWITZERLAND  
 Furet, Pascal, Thann, FRANCE  
 Manley, Paul William, Arlesheim, SWITZERLAND  
 Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND  
 Ferrari, Stefano, Muttenz, SWITZERLAND  
 Hofmann, Francesco, Bottmingen, SWITZERLAND  
 Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF  
 Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF  
 Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF

NUMBER	KIND	DATE
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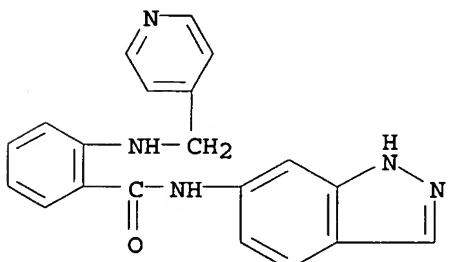
PATENT INFORMATION: US 2002019414 A1 20020214  
 US 6448277 B2 20020910  
 APPLICATION INFO.: US 2001-850434 A1 20010507 (9)  
 RELATED APPLN. INFO.: Continuation of Ser. No. WO 1999-EP8545, filed on 8 Nov 1999, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-24579	19981110
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ, 079011027	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2620	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	#STR1##	

Described are compounds of formula (I), wherein W is O or S; X is NR<sub>1</sub>sub.8; Y is CR<sub>1</sub>sub.9R<sub>1</sub>sub.10-(CH<sub>2</sub>)<sub>n</sub> wherein R<sub>1</sub>sub.9 and R<sub>1</sub>sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO<sub>1</sub>sub.2; R<sub>1</sub>sub.1 is aryl; R<sub>1</sub>sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R<sub>1</sub>sub.2 cannot represent 2-phthalimidyl, and in case of Y.dbd.SO<sub>1</sub>sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R<sub>1</sub>sub.3, R<sub>1</sub>sub.4, R<sub>1</sub>sub.5 and R<sub>1</sub>sub.6, independently of the other, is H or a substituent other than hydrogen; and R<sub>1</sub>sub.7 and R<sub>1</sub>sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 267891-75-8P (preparation of anthranilic acid amides as VEGF receptor inhibitors)  
 RN 267891-75-8 USPATFULL  
 CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 10 USPAT2 on STN  
 ACCESSION NUMBER: 2003:93631 USPAT2  
 TITLE: VEGF receptor tyrosine kinase inhibitors

10/631,018

INVENTOR(S) : Altmann, Karl-Heinz, Reinach, SWITZERLAND  
Bold, Guido, Gipf-Oberfrick, SWITZERLAND  
Furet, Pascal, Thann, FRANCE  
Manley, Paul William, Arlesheim, SWITZERLAND  
Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND  
Ferrari, Stefano, Muttenz, SWITZERLAND  
Hofmann, Francesco, Bottmingen, SWITZERLAND  
Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF  
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF  
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Novartis AG, Basel, SWITZERLAND (non-U.S. corporation)  
Schering Aktiengesellschaft, Berlin, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

PATENT ASSIGNEE(S) :

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6878720	B2	20050412
APPLICATION INFO.:	US 2002-180289		20020626 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 850434, Pat. No. US 6448277 A 371 of International Ser. No. WO 1999-EP8545, filed on 8 Nov 1999		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-24579	19981110
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Desai, Rita	
LEGAL REPRESENTATIVE:	McNally, Lydia T., Dohmann, George R.	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	2293	

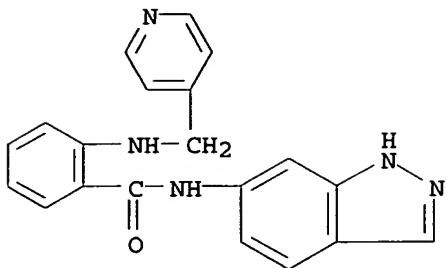
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Described are compounds of formula (I), wherein W is O or S; X is NR<sub>1</sub>.sub.8; Y is CR<sub>1</sub>.sub.9R<sub>2</sub>.sub.10--(CH<sub>2</sub>)<sub>n</sub> wherein R<sub>1</sub>.sub.9 and R<sub>2</sub>.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO<sub>2</sub>.sub.2; R<sub>1</sub>.sub.1 is aryl; R<sub>2</sub>.sub.2 is a bicyclic heteroaryl group comprising one ring nitrogen atom with the exception that R<sub>2</sub>.sub.2 cannot represent 2-phthalimidyl; any of R<sub>1</sub>.sub.3, R<sub>1</sub>.sub.4, R<sub>1</sub>.sub.5 and R<sub>1</sub>.sub.6, independently of the other, is H or a substituent other than hydrogen; and R<sub>1</sub>.sub.7 and R<sub>1</sub>.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 267891-75-8P (preparation of anthranilic acid amides as VEGF receptor inhibitors)  
RN 267891-75-8 USPAT2  
CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA)

## INDEX NAME)



L5 ANSWER 10 OF 10 USPAT2 on STN

ACCESSION NUMBER: 2002:32592 USPAT2

TITLE: VEGF receptor tyrosine kinase inhibitors

INVENTOR(S): Altmann, Karl-Heinz, Reinach, SWITZERLAND

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Schering Aktiengesellschaft, Berlin, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

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NUMBER OF CLAIMS: 19

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R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is S0.sub.2; R.sub.1 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y=S0.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration. ##STR1##

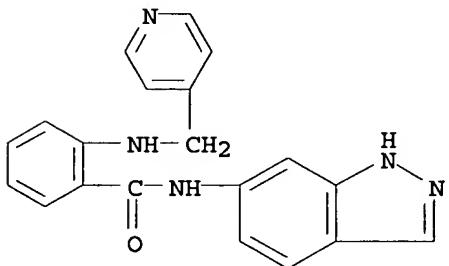
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